## What is Claimed:

## 1. A compound of formula I:

$$H_{2}N = \begin{bmatrix} R^{1} & & & \\ & &$$

wherein:

R<sup>1</sup> is methyl, ethyl, n-propyl, isopropyl, or ethenyl;

R<sup>1a</sup> is H or methyl;

X is -O-, -S-, -CH<sub>2</sub>-, or -NH-, and J is -CH- or -N-, provided that when J is -N-, X is -CH<sub>2</sub>- or -NH-;

Y is H, methyl, ethyl, n-propyl, or isopropyl;

R<sup>2</sup> is:

 $R^{2a}$  is aryl, cycloalkyl, optionally substituted aralkyl, or cycloalkylalkyl;

R<sup>2b</sup> is H or alkyl;

M is:

Ar is:

$$R^5$$
 or  $R^6$   $R^9$ 

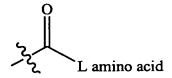
R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, and R<sup>9</sup> are each independently H, methyl, ethyl, n-propyl, isopropyl, halo, cyano, -(CH<sub>2</sub>)<sub>p</sub>-C(=O)OH, -(CH<sub>2</sub>)<sub>p</sub>-C(=O)O-alkyl, -(CH<sub>2</sub>)<sub>p</sub>-C(=O)NH<sub>2</sub>; n and p are each independently the integer 0, 1, 2, or 3, and the sum of (n + p) is the integer 2 or 3;

provided that at least one of R<sup>3</sup>, R<sup>4</sup>, and R5, or at least two of R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, and R<sup>9</sup> are each independently H, methyl, ethyl, n-propyl, isopropyl, halo, or cyano;

provided that when one or more of R<sup>3</sup> and R<sup>5</sup> is isopropyl, R<sup>4</sup> is other than isopropyl;

provided that when R<sup>4</sup> is isopropyl, R<sup>3</sup> and R<sup>5</sup> are each independently other than isopropyl;

provided that when R<sup>8</sup> is isopropyl, R<sup>9</sup> is other than isopropyl; and provided that when R<sup>1a</sup> is H, X is -NH-, J is -CH-, Y is H, methyl or isopropyl, and R<sup>2</sup> is:



R<sup>1</sup> is ethenyl;

or a pharmaceutically acceptable salt thereof.

- 2. A compound according to claim 1, of formula I, wherein R<sup>1</sup> is methyl.
- 3. A compound according to claim 1, of formula I, wherein  $R^{1a}$  is H.
- 4. A compound according to claim 1, of formula I, wherein Y is H, methyl, or isopropyl.
  - 5. A compound according to claim 4, of formula I, wherein Y is isopropyl.
  - 6. A compound according to claim 1, of formula I, wherein Ar is:

WO 2004/007529

- 7. A compound according to claim 6, of formula I, wherein one of  $R^3$ ,  $R^4$ , and  $R^5$  is  $(CH_2)_p$ -C(=O)OH, - $(CH_2)_p$ -C(=O)O-alkyl, - $(CH_2)_p$ - $C(=O)NH_2$ .
  - 8. A compound according to claim 7, of formula I, wherein p is the integer 0.
- 9. A compound according to claim 7, of formula I, wherein one of  $R^3$ ,  $R^4$ , and  $R^5$  is  $-(CH_2)_p-C(=O)OH$  or  $-(CH_2)_p-C(=O)O$ -alkyl.
  - 10. A compound according to claim 9, of formula I, wherein p is the integer 0.
- 11. A compound according to claim 9, of formula I, wherein one of  $R^3$ ,  $R^4$ , and  $R^5$  is  $-(CH_2)_p-C(=O)OH$ .
  - 12. A compound according to claim 11, of formula I, wherein p is the integer 0.
- 13. A compound according to claim 1, of formula I, wherein the sum of (n+p) is the integer 2.
  - 14. A compound according to claim 1, of formula I, wherein M is:

15. A compound according to claim 1, of formula I, wherein Ar is:

## WO 2004/007529

PCT/US2003/022071

16. A compound according to claim 1 of formula I wherein R<sup>2</sup> is:

- 17. A compound according to claim 16, of formula I, wherein R<sup>2a</sup> is optionally substituted aralkyl.
- 18. A compound according to claim 16, of formula I, wherein R<sup>2a</sup> is phenyl, cyclohexyl, *alpha*-naphthylmethyl, *beta*-naphthylmethyl, benzyl, phenylethyl, or cyclohexylmethyl.
- 19. A compound according to claim 17, of formula I, wherein  $R^{2a}$  is optionally substituted benzyl.
- 20. A compound according to claim 19, of formula I, wherein said benzyl is substituted with one or more alkyl, halo, aryl, carboxy, alkoxycarbonyl, or aroyl, or combinations thereof.
  - 21. A compound of formula IIa or IIb:

$$H_2N$$
 $R^1$ 
 $Q^1$ 
 $R^2$ 
 $R^2$ 

## wherein:

R<sup>1</sup> is methyl, ethyl, n-propyl, isopropyl, or ethenyl;

R<sup>la</sup> is H or methyl;

 $Q^1$  and  $Q^3$  are each independently -O-, -S-, or -NH-;

 $Q^2$  is -CH-, or -N-;

Q<sup>4</sup> is -N-;

R<sup>2</sup> is:

R<sup>2a</sup> is aryl, cycloalkyl, optionally substituted aralkyl, or cycloalkylalkyl;

R<sup>2b</sup> is H or alkyl;

M is:

Ar is:

$$R^5$$
 or  $R^6$   $R^9$  ;

 $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ , and  $R^9$  are each independently H, methyl, ethyl, n-propyl, isopropyl, halo, cyano, -(CH<sub>2</sub>)<sub>p</sub>-C(=O)OH, -(CH<sub>2</sub>)<sub>p</sub>-C(=O)O-alkyl, -(CH<sub>2</sub>)<sub>p</sub>-C(=O)NH<sub>2</sub>;  $R^{10}$  is H or methyl;

n and p are each independently the integer 0, 1, 2, or 3, and the sum of (n + p) is the integer 2 or 3;

provided that at least one of R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup>, or at least two of R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, and R<sup>9</sup> are each independently H, methyl, ethyl, n-propyl, isopropyl, halo, or cyano;

provided that when one or more of  $R^3$  and  $R^5$  is isopropyl,  $R^4$  is other than isopropyl;

provided that when R<sup>4</sup> is isopropyl, R<sup>3</sup> and R<sup>5</sup> are each independently other than isopropyl;

provided that when R<sup>8</sup> is isopropyl, R<sup>9</sup> is other than isopropyl; and provided that when R<sup>1a</sup> is H, X is -NH-, J is -CH-, Y is H, methyl or isopropyl;

or a pharmaceutically acceptable salt thereof.

- 22. A compound according to claim 21, of formula IIa, wherein R<sup>1</sup> is methyl.
- 23. A compound according to claim 21, of formula IIa, wherein R<sup>1a</sup> is H.
- 24. A compound according to claim 21, of formula IIa, wherein Y is H, methyl, or isopropyl.
  - 25. A compound according to claim 24, of formula IIa, wherein Y is isopropyl.
  - 26. A compound according to claim 21, of formula IIa, wherein Ar is:

27. A compound according to claim 26, of formula IIa, wherein one of  $R^3$ ,  $R^4$ , and  $R^5$  is -(CH<sub>2</sub>)<sub>p</sub>-C(=O)OH, -(CH<sub>2</sub>)<sub>p</sub>-C(=O)O-alkyl, -(CH<sub>2</sub>)<sub>p</sub>-C(=O)NH<sub>2</sub>.

- 28. A compound according to claim 27, of formula IIa, wherein p is the integer 0.
- 29. A compound according to claim 27, of formula IIa, wherein one of  $R^3$ ,  $R^4$ , and  $R^5$  is  $-(CH_2)_p-C(=O)OH$  or  $-(CH_2)_p-C(=O)O$ -alkyl.
  - 30. A compound according to claim 29, of formula IIa, wherein p is the integer 0.
- 31. A compound according to claim 29, of formula IIa, wherein one of  $R^3$ ,  $R^4$ , and  $R^5$  is -(CH<sub>2</sub>)<sub>p</sub>-C(=O)OH.
  - 32. A compound according to claim 31, of formula IIa, wherein p is the integer 0.
- 33. A compound according to claim 21, of formula IIa, wherein the sum of (n+p) is the integer 2.
  - 34. A compound according to claim 21, of formula IIa, wherein M is:

35. A compound according to claim 21, of formula IIa, wherein Ar is:

36. A compound according to claim 21, of formula IIa or IIb wherein R<sup>2</sup> is:

37. A compound according to claim 21, of formula  $\Pi a$  or  $\Pi b$  wherein  $Q^2$  is -N-.

38. A compound according to claim 21 of formula IIa or IIb wherein R<sup>2</sup> is:

- 39. A compound according to claim 21, of formula IIa or IIb, wherein R<sup>2a</sup> is optionally substituted aralkyl.
- 40. A compound according to claim 38, of formula IIa or IIb, wherein R<sup>2a</sup> is phenyl, cyclohexyl, *alpha*-naphthylmethyl, *beta*-naphthylmethyl, benzyl, phenylethyl, or cyclohexylmethyl.
- 41. A compound according to claim 39, of formula IIa or IIb, wherein R<sup>2a</sup> is optionally substituted benzyl.
- 42. A compound according to claim 41, of formula IIa or IIb, wherein said benzyl is substituted with one or more alkyl, halo, aryl, carboxy, alkoxycarbonyl, or aroyl.
  - 43. A compound according to claim 21, of formula IIa or IIb, wherein R<sup>10</sup> is methyl.
  - 44. A pharmaceutical composition comprising the compound of claim 1.
  - 45. A pharmaceutical composition comprising the compound of claim 21.
- 46. A diagnostic or assay agent comprising a detectable form of the compound of claim 1.
- 47. A diagnostic or assay agent comprising a detectable form of the compound of claim 21.